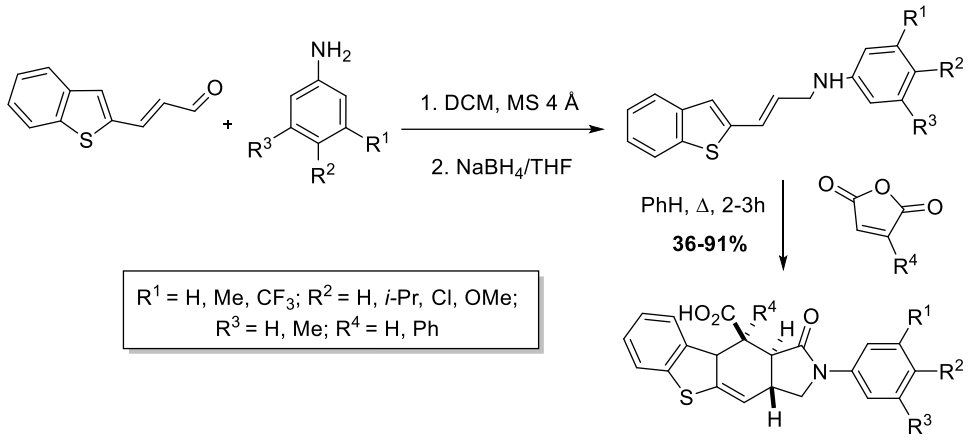

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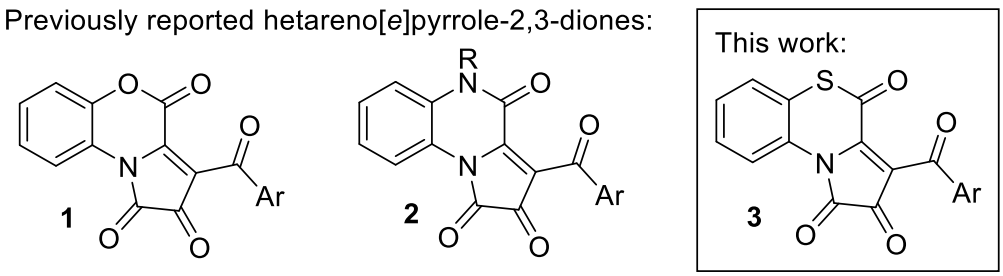
FACILE APPROACH TO ALKALOID-LIKE POLYCYCLIC SPIROHETEROCYCLES *VIA* THERMAL CYCLOADDITION OF PYRROLOBENZOTHIAZINETRIONES WITH OLEFINS*

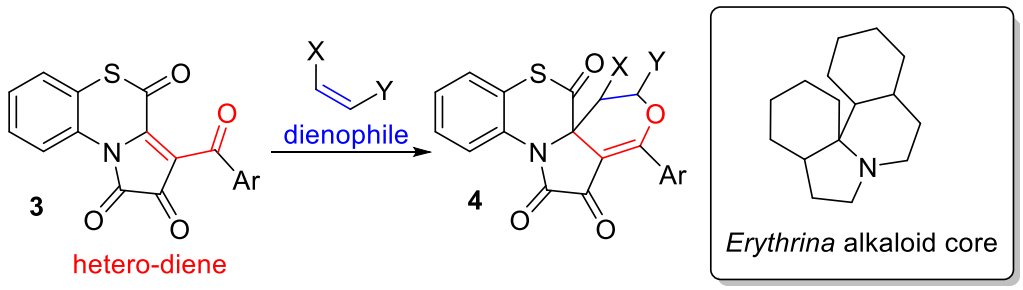
Keywords: alkaloid-like heterocycles, benzothiazine, hetero-Diels–Alder reaction, olefins, 1*H*-pyrrole-2,3-dione.

Development of isosteres of drug-like and natural compounds is a promising field in modern pharmacology and medicinal chemistry [1].

1*H*-Pyrrole-2,3-diones are among the most available polyelectrophilic reagents enabling synthesis of various polyheterocyclic systems with divergent skeletons [2, 3]. Recently, 1*H*-pyrrole-2,3-diones fused at [*e*]-side (hetareno[*e*]pyrrole-2,3-diones) to 1,4-benzoxazine **1** or quinoxaline **2** moieties were used as key structures in the syntheses of 6/6/5/6-tetracyclic systems of heterocyclic analogs of 13(14→8)*abeo*-steroids [4–10].



Herein, we report a research on thermal cycloaddition reactions of previously unavailable 1*H*-pyrrole-2,3-diones fused at [*e*]-side to 1,4-benzothiazine moiety (pyrrolobenzothiazinetriones **3**) with various olefins to afford a facile access to alkaloid-like polycyclic spiroheterocycles **4**, heterocyclic analogs of biologically active *Erythrina* alkaloids.



We found that pyrrolobenzothiazinetriones **3** underwent a hetero-Diels–Alder reaction with various olefins forming polycyclic compounds **4**. In the investigated reactions pyrrolobenzothiazinetriones **3** acted as conjugated oxo-dienes at the C^{3a}=C^{3}–C=O pattern. The regio- and stereoselectivity of the reactions dramatically depended on the nature of olefin involved. The studied processes proceeded under mild catalyst-free conditions. Obtained compounds **4** contain a pharmaceutically valuable polycyclic spiro-core, an analog of *Erythrina* alkaloids core.

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SYNTHESIS OF PRACTICALLY VALUABLE FLUORINATED (HETERO) AROMATIC COMPOUNDS VIA ARYNE INTERMEDIATES*

Keywords: aryne intermediates, fluorinated aromatic compounds, carbon−carbon bonds, carbon−heteroatom bonds, C–H Activation.

The presence of fluorine atom into organic molecules has a strong influence on their physicochemical and biological properties [1]. This is particularly important in the development of new drugs and the design of materials in the area of bioorganic and medicinal chemistry [2]. The fluorine atom has unique and surprising properties, as well as indistinguishable in size, compare to a hydrogen atom, is gradually being used as a substituent in the synthesis of important pharmacologically active compounds.[3] Simultaneously, the fluoroarenes molecules formed by nitrogen-containing (hetero)aryl structural blocks get attention as the most valuable moieties in the field of life science and the design of advanced functional materials. It should be stated that heteroarene moieties attached directly to mono-, bi-, and polyfluoroarene ones appear as the most attractive natural and artificial compounds with a wide spectrum of biological activities (fig. 1) [4].